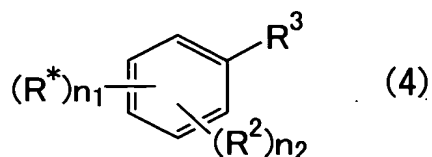


## CLAIMS

1. A process for preparing an optically active biaryl compound of the formula (4):



5 wherein

$R^*$  is the same or different and represents a substituent having at least one asymmetric carbon,

$R^2$  is the same or different and represents a fluorine atom, a cyano group, a nitro group, a substituted or

10 unsubstituted linear or branched alkyl group, a substituted or unsubstituted cycloalkyl group, a substituted or

unsubstituted aryl or heterocyclic group, a hydroxyl group, an alkoxyl group, an aryloxy group, an alkylthio group, an arylthio group, a protected amino group, a substituted or

15 unsubstituted carbamoyl group, a substituted or

unsubstituted sulfonamide group, a formyl group, an alkylcarbonyl group, an arylcarbonyl group, a carboxyl group, an alkoxycarbonyl group, or an aryloxycarbonyl group, or

20 the substituents on the adjacent carbon atoms of the benzene ring may be bound each other and taken together with the benzene ring to form a fused polycyclic aromatic ring,

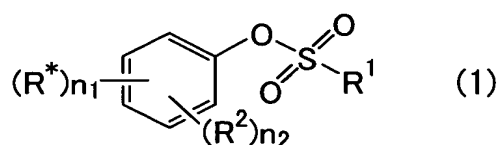
$R^3$  is a substituted or unsubstituted aryl or heteroaryl group,

$n_1$  is an integer of 1 to 5,

$n_2$  is an integer of 0 to 4, and

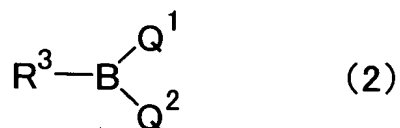
5 \* is as defined hereinafter,

which comprises reacting an aromatic sulfonic acid ester compound of the formula (1):



wherein

10  $R^1$  is a substituted or unsubstituted alkyl or aryl group, and  $R^2$ ,  $R^*$ ,  $n_1$ , and  $n_2$  are as defined hereinabove, provided that  $R^1$  is not a trifluoromethyl group, a nonafluorobutyl group or a pentafluorophenyl group, with an organic boron compound of the formula (2):



15 wherein

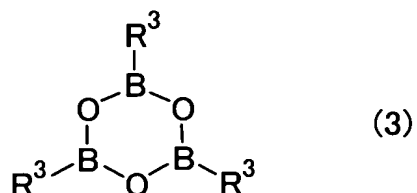
$R^3$  is as defined above, and

$Q^1$  and  $Q^2$  are the same or different and each is a hydroxyl group, or an alkoxy group having 1 to 4 carbon atoms; or  $Q^1$  and  $Q^2$  are taken together to form an alkylenedioxy group having 1 to 4 carbon atoms or 1,2-phenylenedioxy group,

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which is optionally substituted with an alkyl group having 1 to 4 carbon atoms, or

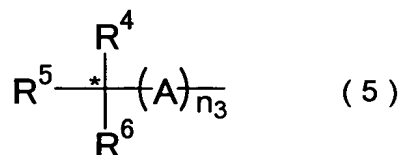
a boroxine ring compound of the formula (3):



5 wherein  $\text{R}^3$  is as defined hereinabove, or

a mixture of compounds of the formula (2) and the formula (3), at 70°C or below in the presence of a nickel catalyst and a base.

2. The process according to claim 1, wherein the  
10 substituent  $\text{R}^*$  is a group of the formula (5):



wherein  $\text{R}^4$ ,  $\text{R}^5$  and  $\text{R}^6$  are different and each is a hydrogen atom, a fluorine atom, a substituted or unsubstituted linear or branched alkyl group, a substituted or  
15 unsubstituted cycloalkyl group, a hydroxyl group, a substituted or unsubstituted alkoxy group, a substituted or unsubstituted aryloxy group, a cyano group, a protected amino group, a formyl group, a carboxyl group, a substituted or unsubstituted alkoxycarbonyl group, a  
20 substituted or unsubstituted aryloxycarbonyl group, a substituted or unsubstituted carbamoyl group, a substituted

or unsubstituted heterocyclic group, or a substituted or unsubstituted aryl group,

A is a substituted or unsubstituted alkylene group, a substituted or unsubstituted nitrogen atom, oxygen atom or  
5 sulfur atom,

$n_3$  is an integer of 0 or 1, and

the carbon atom marked with \* is an asymmetric carbon atom.

3. The process according to claim 1 or 2, wherein  $R^1$  is a methyl group, a phenyl group or a p-tolyl group.

10 4. The process according to any one of claims 1 to 3, wherein a ligand is used in addition to the nickel catalyst.

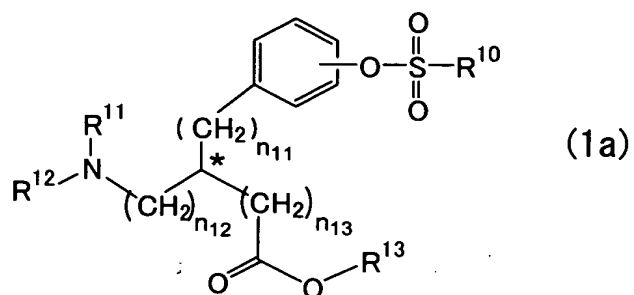
5. The process according to any one of claims 1 to 4, wherein the ligand is trialkylphosphine.

6. The process according to any one of claims 1 to 5,  
15 wherein the base is alkali metal hydroxide, alkaline earth metal hydroxide, alkali metal carbonate, alkaline earth metal carbonate, alkali metal hydrogencarbonate, alkali metal alkoxide, alkaline earth metal alkoxide, alkali metal fluoride, tertiary amine or a mixture thereof.

20 7. The process according to any one of claims 1 to 5, wherein the base is cesium carbonate.

8. The process according to any one of claims 1 to 7, wherein the nickel catalyst is a zerovalent nickel catalyst.

9. An optically active compound of the formula (1a):



wherein

$R^{10}$  is a substituted or unsubstituted alkyl or aryl group,

$R^{11}$  is a protecting group for an amino group,

5  $R^{12}$  is a protecting group for an amino group, or a hydrogen atom,

$R^{13}$  is a substituted or unsubstituted alkyl group, or

either  $R^{11}$  or  $R^{12}$  and  $R^{13}$  are taken together to form a protecting group for amino acid,

10  $n_{11}$ ,  $n_{12}$  and  $n_{13}$  are each independently an integer of 0 or 1, the carbon atom marked with \* is an asymmetric carbon atom, provided that  $R^{10}$  is not a trifluoromethyl group, a nonafluorobutyl group or a pentafluorophenyl group.

10. A composition comprising the optically active  
15 compound of the formula (1a) according to claim 9 and an enantiomer thereof in any ratio.

11. The compound according to claim 9, wherein  $R^{11}$  is a carbamate-type amino-protecting group, an amide-type amino-protecting group or an N-alkyl type amino-protecting  
20 group, and  $R^{12}$  is a hydrogen atom.

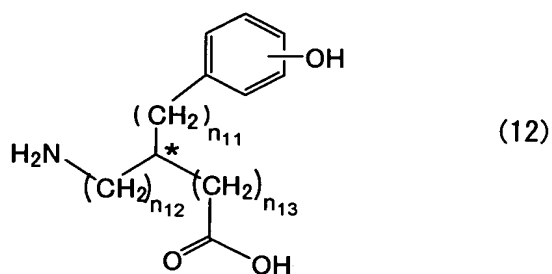
12. The compound according to claim 9, wherein  $R^{11}$  is

an acetyl group, a trifluoroacetyl group, a benzyl group, a t-butyloxycarbonyl group, a 9-fluorenylmethoxycarbonyl group or a benzyloxycarbonyl group, and  $R^{12}$  is a hydrogen atom.

5           13. The compound according to any one of claims 9 to 12, wherein  $R^{13}$  is an alkyl group having 1 to 4 carbons or a benzyl group.

10           14. The compound according to any one of claims 9 to 13, wherein  $R^{10}$  is a methyl group, a phenyl group, a p-nitrophenyl group or a p-methylphenyl group.

15           15. A process for preparing the compound of the formula (1a) according to claim 9, which comprises the step of reacting a compound of the formula (12):



15           wherein  $n_{11}$ ,  $n_{12}$  and  $n_{13}$  are each independently an integer of 0 or 1, and

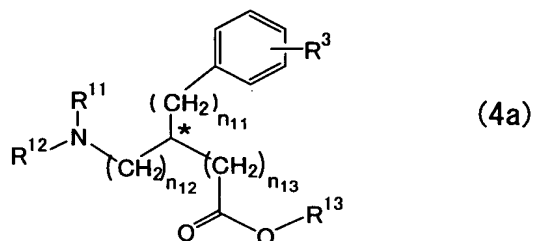
the carbon atom marked with \* is an asymmetric carbon atom, with an alcohol compound of the formula (13):



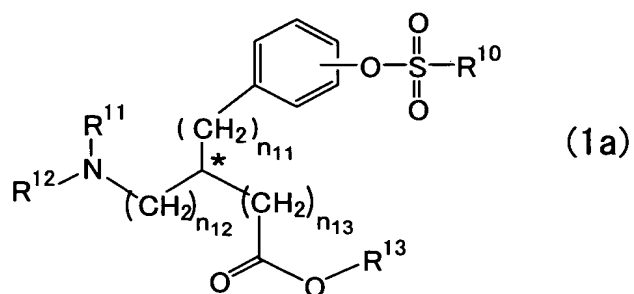
20           wherein  $R^{13}$  is a substituted or unsubstituted alkyl group, to protect the carboxyl group;

the step of introducing a protecting group for an amino group represented by  $R^{11}$  or  $R^{12}$  to protect the amino group; and  
the step of introducing a  $R^{10}SO_2$  group by a reaction of the phenolic hydroxyl group with a sulfonic acid esterifying agent to accomplish sulfonic acid esterification.

16. A process for preparing an optically active biaryl compound of the formula (4a):



wherein  $R^*$ ,  $R^2$ ,  $R^3$ ,  $n_1$ ,  $n_2$  and  $*$  are as defined hereinbelow, which comprises reacting an optically active aromatic sulfonic acid ester compound of the formula (1a):



wherein

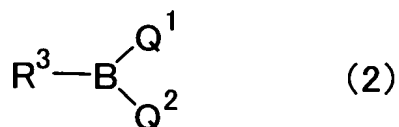
$R^{10}$  is a substituted or unsubstituted alkyl or aryl group,  
 $R^{11}$  is a protecting group for an amino group,  
 $R^{12}$  is a protecting group for an amino group, or a hydrogen atom,

$R^{13}$  is a substituted or unsubstituted alkyl group, or either  $R^{11}$  or  $R^{12}$  and  $R^{13}$  are taken together to represent a protecting group for amino acid,

$n_{11}$ ,  $n_{12}$  and  $n_{13}$  are each independently an integer of 0 or 1,

5 and

the carbon atom marked with \* is an asymmetric carbon atom, provided that  $R^{10}$  is not a trifluoromethyl group, a nonafluorobutyl group or a pentafluorophenyl group, with an organic boron compound of the formula (2):



10

wherein

$R^3$  is an optionally substituted aryl group or heteroaryl group,

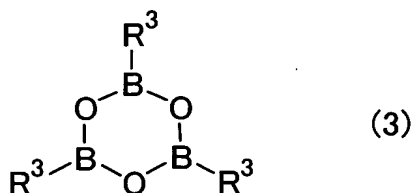
$Q^1$  and  $Q^2$  are the same or different and each is a hydroxyl group or an alkoxy group having 1 to 4 carbon atoms, or  $Q^1$

15

and  $Q^2$  are taken together to form an alkylenedioxy group having 1 to 4 carbon atoms or 1,2-phenylenedioxy group, which is optionally substituted with an alkyl group having 1 to 4 carbon atoms, or

20

a boroxine ring compound of the formula (3):





wherein  $R^3$  is as defined hereinabove, or  
a mixture of compounds of the formula (2) and the formula  
(3), at 70°C or below in the presence of a nickel catalyst  
and a base.